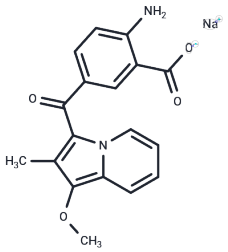
Data Sheet (Cat.No.T6082)

TargetMol

SSR128129E

Chemical Properties



CAS No. : 848318-25-2

Formula: C18H15N2O4·Na

Molecular Weight: 346.31

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	SSR128129E (SSR) is an allosteric and orally-active FGFR1 inhibitor (IC50: 1.9 μM), but not affecting other related RTKs.
Targets(IC50)	FGFR
In vitro	SSR128129E inhibited FGF2-induced EC proliferation and migration (IC50 =31 nM) and dose-dependently induced cilia formation.SSR128129E inhibited responses mediated by FGFR1-4. For example, SSR128129E blocks the migratory response of cardiomyocytes to FGF1 (ligand for FGFR1 and FGFR4) and the capillary formation response to FGF19 (ligand for FGFR4). SSR128129E inhibited FGF7-induced proliferation and migration of the murine pancreatic Panc02 tumor cell line, suggesting that SSR128129E also inhibits FGFR isoforms in other species.[1]
In vivo	Oral administration of SSR128129E 30 mg/kg/day inhibited the growth of orthotopic Panc02 tumors by 44% starting on day 3 and delayed the growth of Lewis lung cancer. Tumor size and weight were reduced by 53% and 40%, respectively, starting on day 5.[1] SSR128129E inhibited the growth of subcutaneous CT26 colon tumors and inhibited the growth of a multidrug-resistant MCF7/ADR breast cancer xenograft model.SSR128129E reduced the invasiveness of Panc02 tumor cells and metastasis to peritoneal lymph nodes.[1]
Kinase Assay	Scintillation Proximity Assay, 125I-FGF-2 Binding: SPA protein A beads are supplied as a suspension in PBS at 20 mg/mL, then diluted with binding buffer (KCl, 400 mg/L; MgSO4 200 mg/L; NaCl 6.4 g/L; NaHCO3 3.7 g/L; NaH2PO4 0.141 mg/mL; bis Tris Propane 11.292 g/L; Glucose 4.5 g/L; Gelatin 0.1 %; pH 7.0) at 10 mg/mL. 125I-FGF-2 radioligand and FGFR-1IIIc? - Fc Chimera are diluted into binding buffer. Binding was performed on 96-well plates coated with 0.1 % gelatin. Total assay volume is 0.1 mL. Binding of 125I-FGF-2 is determined by incubation of SPA beads coated with protein A (0.5 mg/assay) with FGFR-1IIIc? - Fc chimera soluble receptor (5 ng/assay), FGF-2 (20 ng/assay) is used for non-specific binding determinations.
Cell Research	Cell proliferation of porcine aortic endothelial (PAE) and tumor cell lines is analyzed on exponentially growing cells that are starved for 16 hours in 0.2 % FBS containing medium and seeded at 4,000 cells/well in 96-well microplates. After exposure to mitogens and/or SSR for 72 hours, cell proliferation is assessed with the use of the CellTiter 96 AQueous One Solution Cell Proliferation Assay according to manufacturer's

	instructions. 10 % FBS containing medium is used a positive control. (Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: 1 mg/mL (2.89 mM),Sonication is recommended. DMSO: 45 mg/mL (129.94 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8876 mL	14.4379 mL	28.8759 mL
5 mM	0.5775 mL	2.8876 mL	5.7752 mL
10 mM	0.2888 mL	1.4438 mL	2.8876 mL
50 mM	0.0578 mL	0.2888 mL	0.5775 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Bono F, et al. Inhibition of tumor angiogenesis and growth by a small-molecule multi-FGF receptor blocker with allosteric properties. Cancer Cell. 2013 Apr 15;23(4):477-88.
Dol-Gleizes F, et al. A new synthetic FGF receptor antagonist inhibits arteriosclerosis in a mouse vein graft model and atherosclerosis in apolipoprotein E-deficient mice. PLoS One. 2013 Nov 4;8(11):e80027.